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Docket No. ARYX-117XC1
Serial No. 10/624,713In the Claims

Claim 1 (Currently amended): An A₁AdoR antagonist that ~~has at least one characteristic chosen from the group consisting of:~~

~~a. the compound is metabolized both by CYP450 and by a non-oxidative metabolic enzyme or system of enzymes;~~

~~b. the compound has a short (up to four (4) hours) non-oxidative metabolic half life;~~

~~c. the compound contains a hydrolysable bond that can be cleaved non-oxidatively by hydrolytic enzymes;~~

~~d. the primary metabolites of the compound result from the non-oxidative metabolism of the compound;~~

~~e. the primary metabolites are soluble in water at physiological pH;~~

~~f. the primary metabolites have negligible inhibitory activity at the IK_R (HERG) channel at normal therapeutic concentration of the parent drug in plasma;~~

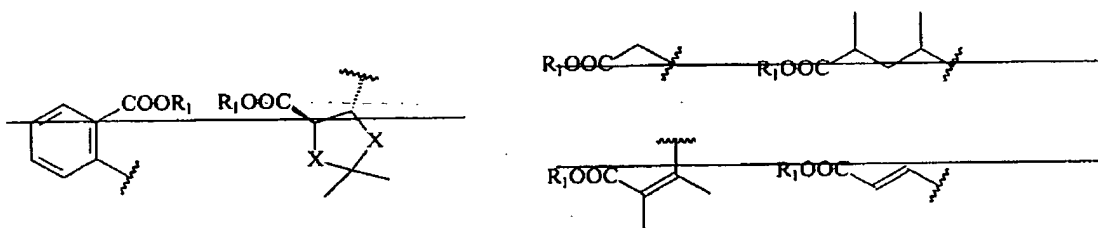
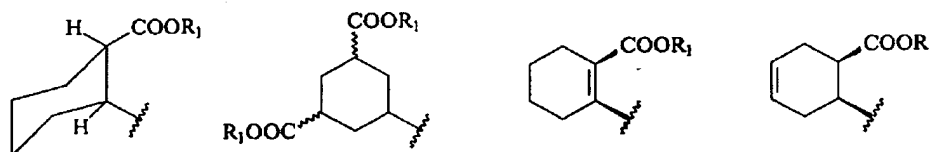
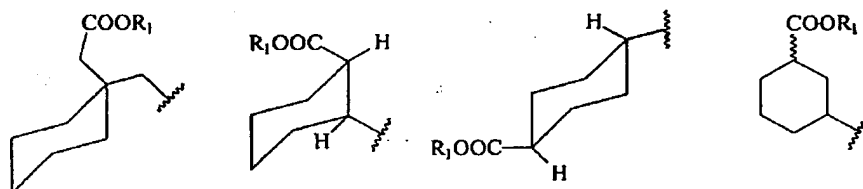
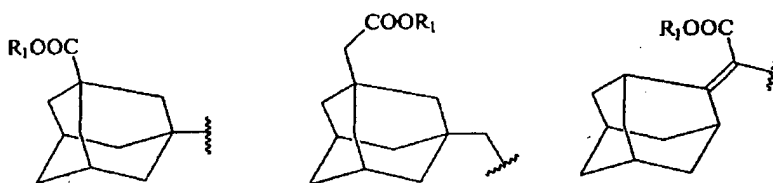
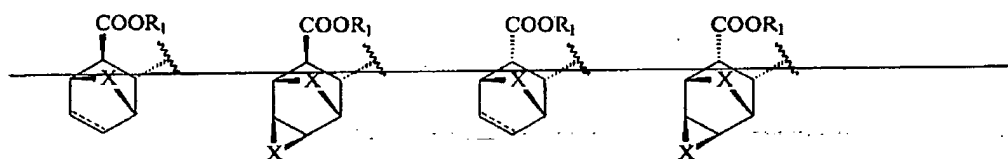
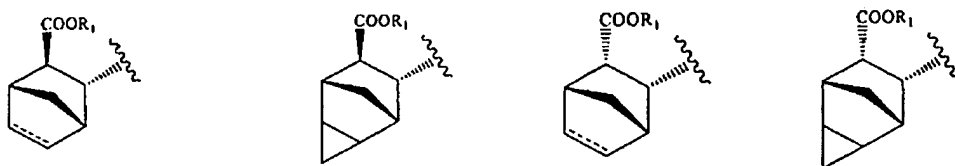
~~g. the compound, as well as the metabolites thereof, does not cause metabolic DDI when co-administered with other drugs; and~~

~~h. the compound, as well as metabolites thereof, does not elevate LFT values when administered alone~~ is a 1,3 dipropylxanthine with an ester function at the 8-position, wherein the ester function is a cycloalkyl substituted with -COOR₁ and wherein R₁ is alkyl.

Claim 2 (Canceled): The compound, according to claim 1, which is a 1,3 dipropylxanthine with an ester function at the 8-position.

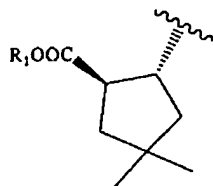
Claim 3 (Currently amended): The compound, according to claim 1, wherein said ester function has a structure selected from the group consisting of:

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and salts thereof;

wherein R_1 is alkyl.

Claim 4 (Currently amended): A pharmaceutical composition comprising an A_1 AdoR antagonist that ~~has at least one characteristic chosen from the group consisting of:~~

~~a. the compound is metabolized both by CYP450 and by a non-oxidative metabolic enzyme or system of enzymes;~~

~~b. the compound has a short (up to four (4) hours) non-oxidative metabolic half life;~~

~~c. the compound contains a hydrolysable bond that can be cleaved non-oxidatively by hydrolytic enzymes;~~

~~d. the primary metabolites of the compound result from the non-oxidative metabolism of the compound;~~

~~e. the primary metabolites are soluble in water at physiological pH;~~

~~f. the primary metabolites have negligible inhibitory activity at the IK_R (HERG) channel at normal therapeutic concentration of the parent drug in plasma;~~

~~g. the compound, as well as the metabolites thereof, does not cause metabolic DDI when co-administered with other drugs; and~~

~~h. the compound, as well as metabolites thereof, does not elevate LFT values when administered alone;~~ is a 1,3 dipropylxanthine with an ester function at the 8-position, wherein the

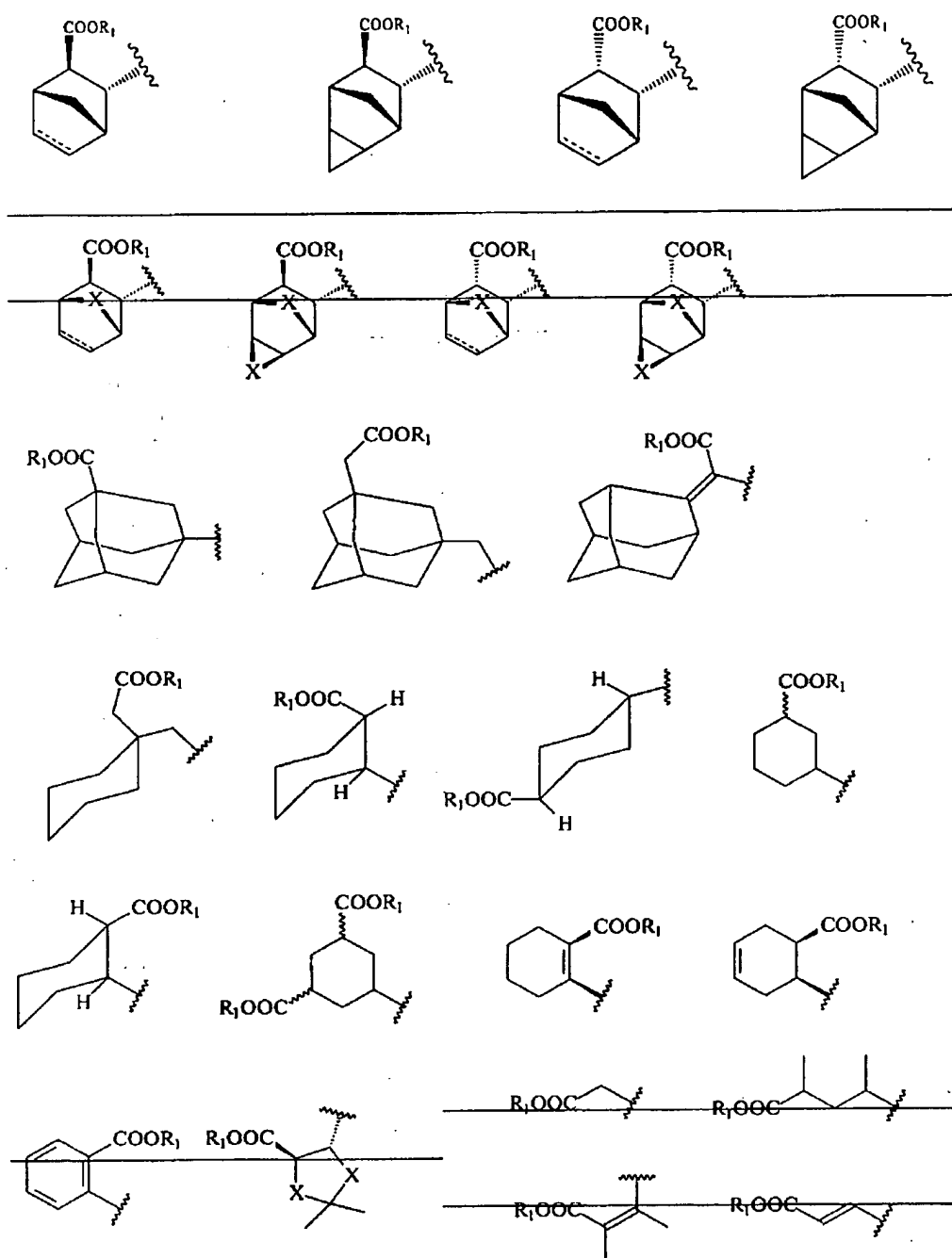
ester function is a cycloalkyl substituted with $-COOR_1$ and wherein R_1 is alkyl;

wherein said composition further comprises a pharmaceutical carrier.

Claim 5 (Canceled): The pharmaceutical composition, according to claim 4, wherein said compound is a 1,3 dipropylxanthine that has an ester function at the 8-position.

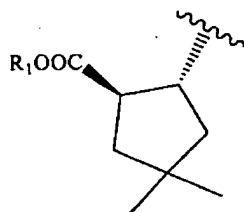
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Claim 6 (Original): The pharmaceutical composition, according to claim 4, wherein said ester function has a structure selected from the group consisting of:



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and salts thereof;

wherein R₁ is alkyl.

Claim 7 (Currently amended): A method for inhibiting the A₁Ado receptor in an individual in need of ~~such treatment~~ divresis or treatment for congestive heart failure wherein said method comprises administering to said individual a pharmaceutical composition comprising an A₁AdoR antagonist that ~~has at least one characteristic chosen from the group consisting of:~~

~~a. the compound is metabolized both by CYP450 and by a non-oxidative metabolic enzyme or system of enzymes;~~

~~b. the compound has a short (up to four (4) hours) non-oxidative metabolic half life;~~

~~c. the compound contains a hydrolysable bond that can be cleaved non-oxidatively by hydrolytic enzymes;~~

~~d. the primary metabolites of the compound result from the non-oxidative metabolism of the compound;~~

~~e. the primary metabolites are soluble in water at physiological pH;~~

~~f. the primary metabolites have negligible inhibitory activity at the I_{Kr} (HERG) channel at normal therapeutic concentration of the parent drug in plasma;~~

~~g. the compound, as well as the metabolites thereof, does not cause metabolic DDI when co-administered with other drugs; and~~

~~h. the compound, as well as metabolites thereof, does not elevate LFT values when administered alone~~ is a 1,3 dipropylxanthine with an ester function at the 8-position, wherein the ester function is a cycloalkyl substituted with -COOR₁ and wherein R₁ is alkyl.

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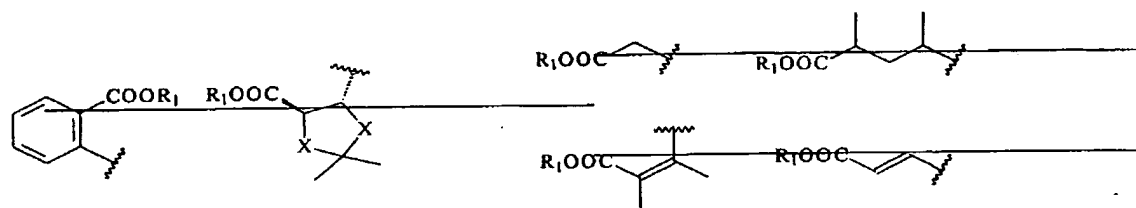
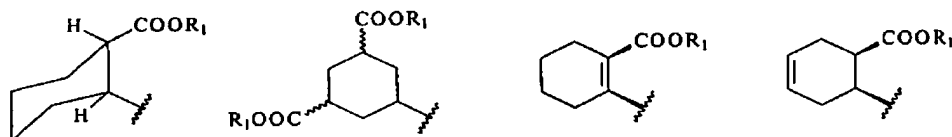
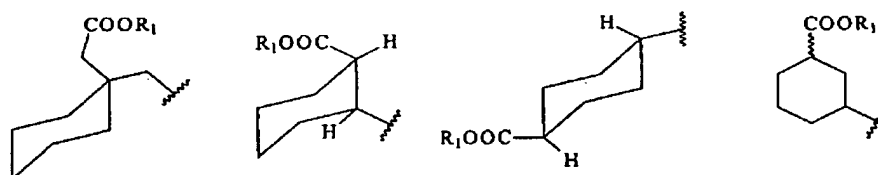
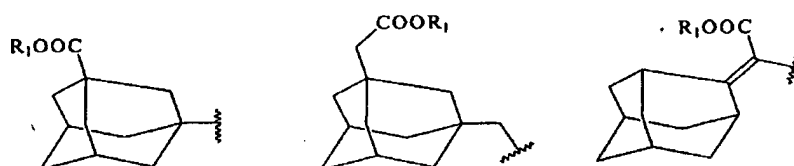
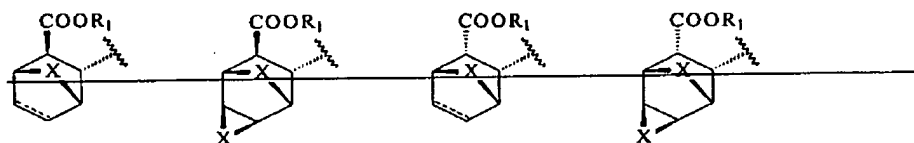
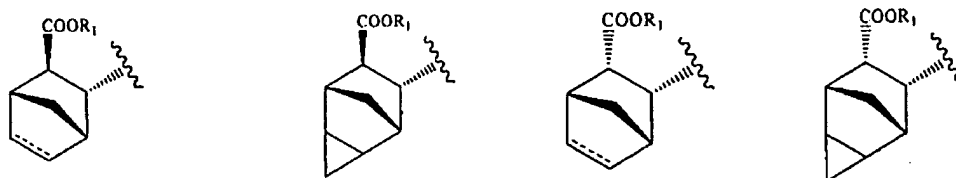
Claim 8 (Canceled): The method, according to claim 7, wherein said compound is a 1,3 dipropylxanthine with an ester function at the 8-position.

Claim 9 (Original): The method, according to claim 7, wherein said ester function has a structure selected from the group consisting of:

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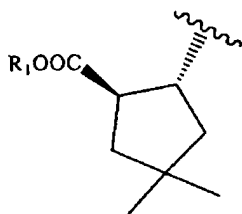
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and salts thereof;

wherein R_1 is alkyl.

Claim 10 (Original): The method, according to claim 7, wherein the individual is a human.

Claim 11 (Original): The method, according to claim 7, wherein said individual has congestive heart failure.

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